PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 4 :
C07D 213/53, 213/89, 405/12
C07D 405/06, 213/61, 213/88
C07D 213/87, 409/12
A01N 43/40

A1

(11) International Publication Number: WO 86/ 0458

(43) International Publication Date: 14 August 1986 (14.08.86

(21) International Application Number: PCT/US86/00072

(22) International Filing Date: 23 January 1986 (23.01.86)

(31) Priority Application Numbers: 700,375
715,425

(32) Priority Dates: 11 February 1985 (11.02.85)
25 March 1985 (25.03.85)

(33) Priority Country: US

(60) Parent Applications or Grants
(63) Related by Continuation
US 700,375 (CIP)
Filed on 11 February 1985 (11.02.85)
US 715,425 (CIP)
Filed on 23 March 1985 (23.03.85)

(71) Applicant *(for all designated States except US)*: THE UPJOHN COMPANY [US/US]; Kalamazoo, MI 49001 (US).

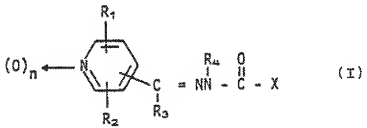
(72) Inventors; and
(75) Inventors/Applicants *(for US only)* : RECTOR, Douglas L. [US/US]; 6075 Litchfield Lane, Kalamazoo, M 49009 (US). CONDER, George, A. [US/US]; 683 East 'F' Avenue, Richland, MI 49083 (US). FOLZ Sylvester, D. [US/US]; 6209 Enola Drive, Kalamazoo MI 49004 (US).

(74) Agent: JAMESON, William, G.; Patent Law Depart ment, The Upjohn Company, Kalamazoo, MI 4900 (US).

(81) Designated States: AT (European patent), AU, BE (Eu ropean patent), CH (European patent), DE (Eurc pean patent), DK, FR (European patent), GB (Eurc pean patent), IT (European patent), JP, LU (Eurc pean patent), NL (European patent), SE (Europea patent), US, US.

Published
With international search report.
Before the expiration of the time limit for amending th claims and to be republished in the event of the recei of amendments.

(54) Title: ANTHELMINTIC PYRIDINYL ACYLHYDRAZONES, METHOD OF USE AND COMPOSITIONS



(I)

(57) Abstract

Process for killing internal parasites, especially nematodes and cestodes affecting warm blooded animals such a sheep, cattle, swine, goats, dogs, cats, horses and humans as well as poultry by administering an effective amount of a com pound of Formula (I). Certain of the compounds of Formula (I) are novel and in further embodiments of the inventio provide novel compounds and compositions for use in the process of the invention. The compounds are readily prepare by conventional chemical reactions. Various pyridinyl acylhydrazones of Formula (I) demonstrate broad-spectrum anthel mintic activity in sheep upon oral and/or parental administration.

TABLE A (cont'd)

C	a	n	R_1	R_2	R_3	R_4	X	m.p.	P	H
157	4	0	H	H	CH_3	H	$PhCH_2$	148.5	34	-
158	4	0	H	H	CH_3	H	2-Cl-4-NO_2Ph	232.2	2	-
159	4	0	H	H	CH_3	H	c-C_6H_{11}	195.3	41	-
160	4	1	H	H	CH_3	H	c-C_6H_{11}-CH_2CH_2	183.1	3	-
161	4	0	H	H	CH_3	H	1-naphthyl	183.7	2	-
162	4	0	H	H	CH_3	H	2-naphthyl	206.5	2	-
163	4	0	H	H	4-ClPh	H	CH_3CH_2O · HCl	216.9	42	-
164	4	0	H	H	H	H	1-naphthylCH_2	185.2	2	-
165	3	0	H	H	CH_3	H	1-naphthylCH_2	159.3	2	-
166	4	0	H	H	CH_3	H	1-naphthylCH_2	168.6	2	-
167	2	0	6-CH_3	H	H	H	c-C_6H_{11}	102.4	2	+
168	2	0	6-CH_3	H	H	H	$CH_3CH_2CH_2$	115.1	2	-
169	2	0	6-CH_3	H	H	H	$(CH_3)_2CH$	99.2	2	-
170	4	0	H	H	$PhCH_2$	H	$CH_3CH_2CH_2$	108.9	2	-
171	4	1	H	H	CH_3	H	1-naphthyl	251.7	2	-
172	3	0	H	H	H	H	1-naphthyl (2 crops)	197.1 199.5	2	-
173	4	0	H	H	$PhCH_2$	H	1-naphthyl	187.9	2	-
174	3	0	H	H	CH_3CH_2	H	3-pyridyl	163.5	2	-
175	4	0	H	H	n-C_4H_9	H	3-pyridyl	125.6	2	-
176	4	0	H	H	n-C_4H_9	H	2-thienyl	176.6	2	-
177	4	0	H	H	CH_3	H	2-thienyl	198.4	2	-
178	3	0	H	H	CH_3	H	2-thienyl	159.8	2	-
179	3	0	H	H	H	H	2-thienyl	223.9	2	-
180	4	0	H	H	H	H	1-naphthyl	199.2	2	-
181	4	0	H	H	H	H	2-thienyl	200.9	2	-
182	4	0	H	H	H	H	3,4,5-$(CH_3O)_3$Ph	187.8	2	+
183	2	0	6-CH_3	H	H	H	c-C_4H_7	120.0	2	-
184	2	0	6-CH_3	H	H	H	CH_3	156.9	2	-
185	3	0	H	H	CH_3CH_2	H	c-C_4H_7	153.6	2	-
186	3	0	H	H	CH_3CH_2	H	1-naphthyl	166.7	2	-
187	3	0	H	H	CH_3	H	1-naphthyl	166.9	2	+
188	4	0	H	H	CH_3	H	4-ClPh	182.0	2	-
189	4	1	H	H	CH_3	H	4-ClPh	224.0	2	-